

10/566413

# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

IAP20 Rec'd PCT/PTO 20 JAN 2006  
International application No.  
PCT/IB2004/002527

## Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
  - ☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
    - ☐ international search (under Rules 12.3 and 23.1(b))
    - ☐ publication of the international application (under Rule 12.4)
    - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements\*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

### Description, Pages

2-17	as originally filed
1	received on 08.06.2005 with letter of 07.06.2005

### Claims, Numbers

1(part), 2-13	as originally filed
1(part)	received on 08.06.2005 with letter of 07.06.2005

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing

3. ☐ The amendments have resulted in the cancellation of:
  - ☐ the description, pages
  - ☐ the claims, Nos.
  - ☐ the drawings, sheets/figs
  - ☐ the sequence listing (*specify*):
  - ☐ any table(s) related to sequence listing (*specify*):
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
  - ☐ the description, pages
  - ☐ the claims, Nos.
  - ☐ the drawings, sheets/figs
  - ☐ the sequence listing (*specify*):
  - ☐ any table(s) related to sequence listing (*specify*):

\* If item 4 applies, some or all of these sheets may be marked "superseded."

**INTERNATIONAL PRELIMINARY REPORT  
ON PATENTABILITY**

International application No.  
PCT/B2004/002527

---

**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

---

1. Statement

Novelty (N)	Yes: Claims	1-13
	No: Claims	
Inventive step (IS)	Yes: Claims	1-13
	No: Claims	
Industrial applicability (IA)	Yes: Claims	1-13
	No: Claims	

2. Citations and explanations (Rule 70.7):

**see separate sheet**

**INTERNATIONAL PRELIMINARY  
REPORT ON PATENTABILITY  
(SEPARATE SHEET)**

**10/566413**  
**IAP20 Rec'd IPCI/PTO 30 JAN 2006**  
International application No.

**PCT/IB2004/002527**

**To section V**

The following documents were cited in the search report and were considered for the examination of the present application:

- D1: EP-A-0 240 228 (ICI AMERICAS INC) 7 October 1987  
D2: WO 01/55125 A (EGIS GYOGYSZERGYAR RT; BOZSING, DANIEL; KOVANYINE LAX, GYOERGYI; SIMIG) 2 August 2001  
D3: EP-A-0 282 236 (IMPERIAL CHEMICAL INDUSTRIES PLC) 14 September 1988  
D4: WARAWA E J ET AL: "Behavioral approach to nondyskinetic dopamine antagonists: Identification of Seroquel" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 44, 1 February 2001 (2001-02-01), pages 372-389,  
D5: LAMBERT, T.N. ET AL.: "Synthesis of 3-Hydroxy-2-pyridinone Derivatives of 4-tert-Butylcalix[4]arenes: A New Class of Selective Extractants of Actinide(IV) Ions" JOURNAL OF ORGANIC CHEMISTRY, vol. 64, 1999, pages 6097-6101.

The present application is directed to a process for the preparation of 11-(4-[2-(2-HYDROXYETHOXY)ETHYL] -1-PIPERAZINYL]-DIBENZO[B,F][1,4]THIAZEPINE, a compound which is known for its neuroleptic or antipsychotic activity. The process consists in the reaction of an intermediate alcohol (II) with an alkylating agent which has a protected alcohol function. The target compound is obtained by a deprotection step.

The documents of the prior art anticipate processes where the side chain is introduced by reaction of e.g. 2-chloroethoxyethanol with a piperazine precursor (D1) or by reaction of ethylene glycol with a halo derivative (D2). The process anticipated in document D5 makes also use of an alkylating agent similar to formula (III), but for the preparation of a different target compound.

The description contains sufficient data which reveal that the process is suitable to obtain the target compound in high yield and good purity.

The requirements of Art. 33(2)(3) PCT are met.